## REMARKS

Claims 17-24, 33-44, and 46-57 are currently pending and under consideration. Claims 1-8, 45 and 58 have been cancelled to focus the application upon certain groups of lead compounds. In cancelling this subject matter from this application, Applicants are not conceding the propriety of any rejection made in the January 29, 2002 Office action and Applicants expressly reserve the right to pursue the remaining subject matter through one or more continuation applications. It should be noted that claim 20 of the instant application is substantially similar to claim 62 of the continuation-in-part application, serial number 09/716,962.

### I. Rejections Based on 35 U.S.C. §112, Second Paragraph

#### A. Sets of Definitions for B

Claims 1-4, 7, 20, 33-44, and 47-58 were rejected under 35 U.S.C. §112, second paragraph for listing "several sets of definitions for B that are not recited as alternatives."[1] Claims 1-4 and 7 have been cancelled thus rendering moot this rejection as applied to these claims. Further, in Applicants' Response to Restriction Requirement dated November 5, 2001, Applicants elected to prosecute the claims of Group III wherein B is selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, C2-C8 haloalkyl, and C3-C8 haloalkenyl wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the groups consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$ and $R^{36}$ their compositions and methods of use. Accordingly, the above-identified claims have been amended herein to reflect the definition for B elected in Applicants' Response to Restriction Requirement. Consequently, reference to alternative definitions of B have been eliminated.

Claims 47-58 depend from the previous claims and thus incorporate all of the limitations thereof. Accordingly, claims 47-58 do not recite multiple definitions for B.

Applicants have also amended the pending claims to clarify the definitions of other variables, such as $Y^0$ and A. Accordingly, the basis for this rejection has been removed.

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[1]See Paper No. 9, page 2.

B. Definition of A

Claims 1-4, 7, 20, 33-44, and 47-58 were rejected by the Office under 35 U.S.C. §112, second paragraph stating that "the definition of A contains a double inclusion because when A represents a single bond, it is the same as having 'rr' and 'pa' equal to 0 on the group, $(CH(R^{15})_{pa}-(W^7)_{rr}$."[2] Claims 1-4 and 7 have been cancelled thus rendering moot this rejection as applied to these claims.

Claim 17 has been amended to explicitly recite the proviso language found in claim 1 that restricts "rr" and "pa" from being 0 at the same time.[3] Claim 17 originally depended from claim 2, which depended from claim 1. Thus, as originally submitted, the proviso applied equally to claims 1, 2 and 17. By this Amendment A, claim 17 has been amended to be an independent claim. Accordingly, the proviso recited in claim 1 has now been explicitly recited in claim 17. Thus, no double inclusion exists for the definition of A in claim 17.

Claim 20 depends from claim 17 and thus incorporates all of the limitations of the base claim, including the proviso. Accordingly, claim 17 does not recite a double inclusion in the definition of A.

Claim 33 has been amended to explicitly recite the proviso language found in claim 1 that restricts "rr" and "pa" from being 0 at the same time. Claim 33 originally depended from claim 2, which depended from claim 1. Thus, as originally submitted, the proviso applied equally to claims 1, 2 and 33. By this Amendment A, claim 33 has been amended to be an independent claim. Accordingly, the proviso recited in claim 1 has now been explicitly recited in claim 33. Thus, claim 33 does not recite a double inclusion for the definition of A.

Claims 34-40 ultimately depend from claim 33 and thus incorporate the proviso language that restricts "rr" and "pa" from being 0 at the same time. Thus, there is no double inclusion for the definition of A in claims 34-40.

Claim 41 is an independent claim and has been amended to include the proviso language that restricts "rr" and "pa" from being 0 at the same time. As a result, there is no double inclusion for the definition of A in claim 41.

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[2] Id. at 3.

[3] See Application p. 188, lines 14-15 ("...with the proviso that no more than one of the group consisting of rr and pa is 0 at the same time;").

Claims 42-44 recite specific chemical groups for A and thus present no possibility of double inclusion.

Claims 47-58 depend from the previous claims and thus incorporate the proviso limitation recited in pending claims 17, 33 and 41. In addition, claims 47-58 also depend from claims in which no double inclusion possibility exists.

Accordingly, the basis for this rejection has been removed.

C. Triple Bond in Definition of Q and $Y^0$

Claims 1-4, 7, 20, 33-44, and 47-58 were rejected by the Office under 35 U.S.C. §112, second paragraph because "[i]n the definition of Q and $Y^0$, when one of the ring variables represents a covalent bond, there exists a triple bond in said ring, which is not an art-recognized ring."[4] Claims 1-4 and 7 have been cancelled thus rendering moot this rejection as applied to these claims.

In response to the rejection, Applicants have amended the definition of $Y^0$ in claims 20, 33 and 36 to include language limiting the group to an "aryl or heteroaryl of 5 or 6 ring members..."[5] Such limitation prevents a triple bond from existing within the ring structure. These claims already recite a similar limitation for Q and thus no amendment is required for the Q variable.

Claims 47-58 depend from the previous pending claims and thus incorporate all of the limitations thereof. As a result, claims 47-58 are also restricted from embracing a substituent for Q or $Y^0$ that consists of a ring containing a triple bond.

Accordingly, the basis for this rejection has been removed.

D. Improper "Use" Claim

Claim 58 was rejected under 35 U.S.C. §112, second paragraph for failing to set forth any steps involved in the method/process thereby making it unclear what method/process Applicants are intending to encompass.[6] In response, claim 58 has been cancelled thus rendering moot this rejection.

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[4]See Paper No. 9, page 3.

[5]See claim 20, as amended.

[6]See Paper No. 9, page 3.

For the reasons set forth above, Applicants respectfully request reconsideration and withdrawal of the rejections based on 35 U.S.C. §112, second paragraph.

## II. Rejection Based on 35 U.S.C. §101

The Office has rejected claim 58 under 35 U.S.C. §101 because the claimed recitation of a use, without setting forth any steps involved in the process, results in an improper definition of a process.[7] In response, claim 58 has been cancelled thus rendering moot this rejection.

## III. Rejections Based on 35 U.S.C. §112, First Paragraph

Claims 1-4, 17, 33-44, and 47-57 were rejected under 35 U.S.C. §112, first paragraph "as containing subject matter which was not described in the specification in such a way as to enable one skilled in the art to which it pertains, or with which it is most nearly connected, to make and/or use the invention."[8] Specifically, the Office objects to Q and/or $Y^0$ representing a ring having a triple bond.

As discussed above, Applicants have amended the appropriate claims to limit $Y^0$ to "aryl or heteroaryl of 5 or 6 ring members..." Such limitation prevents a triple bond from existing within the ring structure. The pending claims do not allow for the possibility of Q being a ring containing a triple bond. Thus, no amendment to the definition of Q was necessary. Accordingly, the basis for this rejection has been removed.

## IV. Rejections Based on 35 U.S.C. §102

The standard for determining a rejection based on anticipation is that a claim is anticipated only if each and every element as set forth in the claim is found, either expressly or inherently described, in a single prior art reference.[9] The Office has asserted that each of the cited references teaches the compounds, compositions, and

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[7] Id.

[8] Id. at 4.

[9] Verdegaal Bros. V. Union Oil Co. of California, 814 F.2d 628, 631 (Fed. Cir. 1987).

methods of use of the instant invention. For the reasons set forth below, claims 1, 2, 17, 20, and 47-57 are not anticipated by the cited references.

Claims 1 and 2 have been cancelled thus rendering moot this objection as applied to these claims. Therefore, the following remarks focus on claims 17, 20 and 47-57.

A. Claims 17, 20 and 47-57 Are Not Anticipated by the Compounds Disclosed by Sanderson 1

Reconsideration is requested of the rejection of claims 17, 20, and 47-57 under 35 U.S.C. §102(a) in view of Sanderson et al., WO 98/31670. ("Sanderson 1").

Claim 17 of the instant application is generally directed toward compounds possessing a pyridone core substituted with a $X^0$, $R^1$, B, $Y^0$ and $R^2$ group, wherein B and $Y^0$ are attached to the pyridone core via linking groups. Sanderson 1, on the other hand, discloses compounds having a pyridone core with substituents denominated as $R^2$, $R^3$, W and A. The B, $Y^0$ and $R^2$ moieties of claim 17 generally correspond to Sanderson 1's W, A, and $R^3$ moieties, respectively.[10] Claim 17 defines compounds wherein the $R^2$ substituent embraces a substituted or unsubstituted aryl or heteroaryl moiety linked to the pyridone core through various linkers. In contrast, Sanderson 1 only discloses that $R^3$ is hydrogen, C1-4 alkyl, C3-7 cycloalkyl, or trifluoromethyl. Because the Sanderson 1 reference does not disclose each and every element of the invention as defined by claim 17, the rejection of this claim on the basis of anticipation is not proper.

Claim 20 depends from claim 17 and thus incorporates all of limitations of the base claim. In claim 20, the $R^2$ substituent is again limited to substituted or unsubstituted aryl or heteroaryl moieties. As stated above, Sanderson 1 only discloses that $R^3$ is hydrogen, C1-4 alkyl, C3-7 cycloalkyl, or trifluoromethyl. Because Sanderson 1 does not disclose each and every element of the invention as defined by claim 20, the rejection of this claim on the basis of anticipation is not proper.

Claims 47-57 are directed toward compositions and methods of employing the compounds of claims 17-24 and 33-45. Because the compounds defined by claims 17-24 and 33-45 are not anticipated by the compounds of Sanderson 1, the compounds

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[10]Specifically, W of Sanderson 1 corresponds to B of claim 17, A of Sanderson 1 corresponds to $Y^0$ of claim 17, $R^3$ of Sanderson 1 corresponds to $R^2$ of claim 17, and $R^2$ of Sanderson 1 generally corresponds to $X^0$ of claim 17. There is no direct corresponding group for the $R^1$ group of claim 17.

disclosed by Sanderson 1 also do not anticipate a method of employing such compounds.

Accordingly, for the reasons stated above, Sanderson 1 does not anticipate claims 17, 20 and 47-57. Therefore, Applicants respectfully request that this rejection be withdrawn.

B. Claims 17, 20 and 47-57 Are Not Anticipated by the Compounds Disclosed by Sanderson 2

Reconsideration is requested of the rejection of claims 17, 20, and 47-57 under 35 U.S.C. §112, 102(b) in view of Sanderson et al., WO 97/01338 ("Sanderson 2").

Claim 17 is not anticipated by the compounds disclosed by Sanderson 2. Sanderson 2, like Sanderson 1, discloses compounds having a pyridone core substituted with various groups, wherein one of the groups is $R^3$. The $R^3$ group of the compounds disclosed by Sanderson 2 corresponds to the $R^2$ group of the compounds defined by claim 17. Sanderson 2 only discloses that $R^3$ is hydrogen, C1-4 linear or branched alkyl, C3-7 cycloalkyl, or trifluoromethyl, whereas claim 17 requires that $R^2$ possess a substituted or unsubstituted aryl or heteroaryl moiety. Because Sanderson 2 does not disclose each and every element of the invention as defined by claim 17, the rejection of this claim on the basis of anticipation is not proper.

Claim 20 depends from claim 17 and thus incorporates all of the limitations of claim 17. In claim 20, the $R^2$ substituent is again limited to substituted or unsubstituted aryl or heteroaryl moieties. As stated above, Sanderson 2 only discloses that $R^3$ is hydrogen, C1-4 linear or branched alkyl, C3-7 cycloalkyl, or trifluoromethyl. Because Sanderson 2 does not disclose each and every element of the invention as defined by claim 20, the rejection of this claim on the basis of anticipation is not proper.

Claims 47-57 are directed toward compositions and methods of employing the compounds of claims 17-24 and 33-45. Because the compounds defined by claims 17-24 and 33-45 are not anticipated by the compounds disclosed by Sanderson 2, Sanderson 2 also does not anticipate a method of employing such compounds.

Accordingly, for the reasons stated above, Sanderson 2 does not anticipate claims 17, 20 and 47-57. Therefore, Applicants respectfully request that this rejection be withdrawn.

C. Claims 17, 20 and 47-57 Are Not Anticipated by the Compounds Disclosed by Sanderson 3

Reconsideration is requested of the rejection of claims 17, 20, and 47-57 under 35 U.S.C. §112, 102(b) in view of Sanderson et al., U.S. 5,668,289 ("Sanderson 3").

Claim 17 is not anticipated by the compounds disclosed by Sanderson 3. Sanderson 3, like Sanderson 1 and Sanderson 2, discloses compounds having a pyridone core substituted with various groups, wherein one of the groups is $R^3$. The $R^3$ group of the compounds disclosed by Sanderson 3 corresponds to the $R^2$ group of the compounds defined by claim 17. Sanderson 3 only discloses that $R^3$ is hydrogen, C1-4 linear or branched alkyl, C3-7 cycloalkyl, or trifluoromethyl, whereas claim 17 requires that $R^2$ possess a substituted or unsubstituted aryl or heteroaryl moiety. Because Sanderson 3 does not disclose each and every element of the invention as defined by claim 17, the rejection of this claim on the basis of anticipation is not proper.

Claim 20 depends from claim 17 and thus incorporates all of the limitations of claim 17. Accordingly, claim 20 is also not anticipated by the compounds disclosed by Sanderson 3.

Claims 47-57 are directed toward compositions and methods of employing the compounds of claims 17-24 and 33-45. Because the compounds defined by claims 17-24 and 33-45 are not anticipated by the compounds disclosed by Sanderson 3, Sanderson 3 also does not anticipate a method of employing such compounds.

Accordingly, for the reasons stated above, Sanderson 3 does not anticipate claims 17, 20 and 47-57. Therefore, Applicants respectfully request that this rejection be withdrawn.

## V. Claim Objections Based on Dependence Upon a Rejected Base Claim

Claims 5-8, 18-24, 45 and 46 were objected to by the Office as being dependent upon a rejected base claim, but would be allowable if rewritten in independent form including all of the limitations of the base claim and any intervening claims.

Claims 5-8 and 45 have been cancelled thus rendering this objection moot for these claims.

Claims 18-24 depend from claim 17. For all of the reasons stated above, claim 17 is allowable. Therefore, claims 18-24 should also be allowed.

Further, claim 46 depends from claims 24 or 40. As detailed in the preceding paragraph, claim 24 is allowable. Further claim 40 is allowable. Thus, claim 46 should

also be allowed. Accordingly, Applicants respectfully request withdrawal of this objection.

## VI. Information Disclosure Statement

According to the Office, certain references were not available with the instant application. In response, Applicants hereby submit the following references, numbered as they appear on the Information Disclosure Statement filed on April 19, 2001 and the Supplemental Information Disclosure Statement filed on June 5, 2001.

**U.S. Patent Documents**

| | | |
|---|---|---|
| 5. | 5,869,487 | Coburn et al. |
| 31. | 5,441,960 | Bernstein et al. |
| 32. | 5,861,380 | Gyorkos et al. |
| 33. | 5,866,573 | Sanderson er al. |
| 34. | 5,872,138 | Tamura et al. |

**Foreign Patent Documents**

| | | |
|---|---|---|
| 8. | WO 96/18644 | Corvas International Inc. |
| 12. | WO 98/09949 | Nippon Kay Kabushiki Kaisha (abstract) |
| 18. | WO 98/47876 | Akzo Nobel N.V. |
| 19. | WO 98/50420 | Akzo Nobel N.V. |
| 20 | WO 99/00126 | Eli Lilly and Company |
| 21. | WO 99/11267 | Merck & Co. |
| 36. | EP 528 633 | Zeneca Limited |
| 37. | EP 826 671 | The Green Cross Corporation |
| 38. | EP 936 216 | Nippon Kayaku Kabushiki Kaisha |
| 39. | EP 940 400 | Yoshitomi Pharmaceutical Industries, Inc. |
| 40. | WO 93/21214 | Zeneca Limited |
| 41. | WO 96/33974 | The Green Cross Corporation (abstract) |
| 42. | WO 96/39380 | 3-Dimensional Pharmaceuticals, Inc. |
| 43. | WO 96/40100 | 3-Dimensional Pharmaceuticals, Inc. |
| 44. | WO 97/40024 | Merck & Co., Inc. |
| 45. | WO 98/08840 | Merck & Co., Inc. |
| 46. | WO 98/10763 | Merck & Co., Inc. |

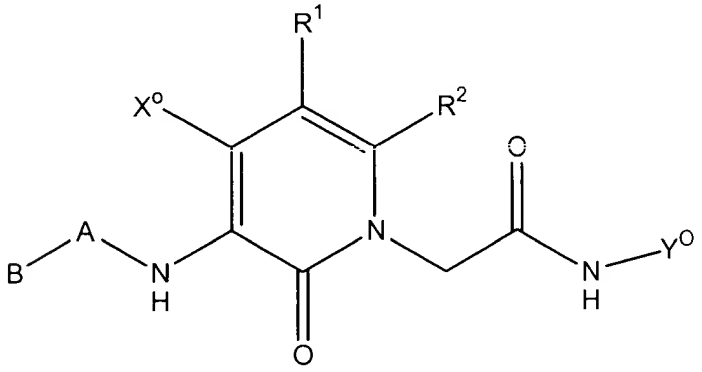
47. WO 99/00121 Eli Lilly and Company
48. WO 99/00128 Eli Lilly and Company
49. WO 99/26920 Corvas International, Inc.
51. WO 99/36426 Warner-Lambert Company
52. WO 99/59591 Merck & Co., Inc.
54. WO 99/62538 Cortech Inc.
55. WO 99/64446 3-Dimensional Pharmaceuticals, Inc.
56. WO 00/69832 Monsanto Company

**Other Prior Art - Non Patent Literature Documents**

27. MAJERUS, et al. "Anticoagulant, Thrombolytic, and Antiplatelet Drugs." Goodman & Gillman's The Pharmacological Basis of Therapeutics, Ninth Edition, 1996, pp. 1341-1359, McGraw-Hill, New York.

## VERSION WITH MARKINGS TO SHOW CHANGES MADE

17. (once amended) [The] **A** compound [as recited in Claim 2] having the Formula:




or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;

$R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and $Q^b$;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}$-$(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and $W^7$ is selected from the group consisting of $(R^7)NC(O)$ and $N(R^7)$ **with the proviso that no more than one of the group consisting of rr and pa is 0 at the same time**;

$R^7$ is selected from the group consisting of hydrido, hydroxy and alkyl;

$R^{15}$ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$R^1$ and $X^o$ are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$R^2$ is $Z^0$-Q;

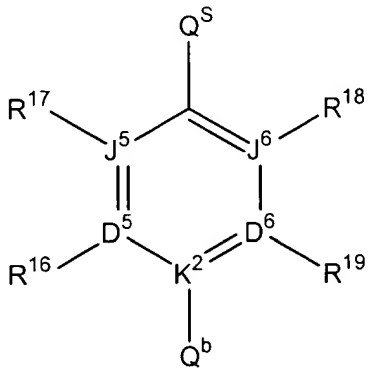
$Z^0$ is selected from the group consisting of a covalent single bond, O, S, NH, and $CH_2$;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^9$, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^{13}$, a carbon adjacent to $R^9$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{10}$, a carbon adjacent to $R^{13}$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{12}$, and any carbon adjacent to both $R^{10}$ and $R^{12}$ is optionally substituted by $R^{11}$;

$R^9$, $R^{11}$, and $R^{13}$ are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkylsulfonamido, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, alkoxy, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

$R^{10}$ and $R^{12}$ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, amidocarbonyl, halo, haloalkyl, and cyano;

$Y^0$ is **an aryl or heteroaryl of 5 or 6 ring members of the** formula (IV):




(IV)

wherein $D^5$, $D^6$, $J^5$, and $J^6$ are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, $K^2$ is C, no more than one of $D^5$, $D^6$, $J^5$, and $J^6$ is O, no more than one of $D^5$, $D^6$, $J^5$, and $J^6$ is S, one of $D^5$, $D^6$, $J^5$, and $J^6$ must be a covalent bond when two of $D^5$, $D^6$, $J^5$, and $J^6$ are O and S, and no more than four of $D^5$, $D^6$, $J^5$, and $J^6$ are N;

[$R^{16}$,] $R^{17}$[,] **and** $R^{18}$ [, and $R^{19}$] are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

**$R^{16}$ and $R^{19}$ are independently selected from the group consisting of:**

**(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and**

**(ii)** [$R^{16}$ and $R^{19}$ are optionally] $Q^b$ with the proviso that no more than one of $R^{16}$ and $R^{19}$ is $Q^b$ at the same time and that $Q^b$ is $Q^{be}$;

$Q^b$ is selected from the group consisting of $NR^{20}R^{21}$, $Q^{be}$ wherein $Q^{be}$ is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos that no more than one of $R^{20}$ and $R^{21}$ is hydroxy at the same time and that no more than one of $R^{23}$ and $R^{24}$ is hydroxy at the same time;

$R^{20}$, $R^{21}$, $R^{23}$, $R^{24}$, $R^{25}$, and $R^{26}$ are independently selected from the group consisting of hydrido, alkyl, and hydroxy;

$Q^s$ is selected from the group consisting of a single covalent bond, $CH_2$, and $CH_2CH_2$.

18. (once amended) The compound as recited in Claim 17 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propynyl, 2-propenyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-butenyl, 1-methyl-2-butynyl, 3-pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2-methyl-2-butenyl, 2-methyl-3-butenyl, 2-methyl-3-butynyl, 3-methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-propenyl, 1-ethyl-2-butynyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 6-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-5-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-4-pentenyl, 1-

butyl-2-propenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;

$R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and $Q^b$;

A is selected from the group consisting of single covalent bond, NH, $N(CH_3)$, N(OH), $CH_2$, $CH_3CH$, $CF_3CH$, NHC(O), $N(CH_3)C(O)$, C(O)NH, $C(O)N(CH_3)$, $CH_2CH_2$, $CH_2CH_2CH_2$, $CH_3CHCH_2$, and $CF_3CHCH_2$;

$R^1$ and $X^o$ are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$R^2$ is $Z^0$-Q;

$Z^0$ is selected from the group consisting of a covalent single bond, O, S, NH, and $CH_2$;

Q is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^9$, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^{13}$, a carbon adjacent to $R^9$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{10}$, a carbon adjacent to $R^{13}$ and two atoms

from the carbon at the point of attachment is optionally substituted by $R^{12}$, and any carbon adjacent to both $R^{10}$ and $R^{12}$ is optionally substituted by $R^{11}$;

$R^9$, $R^{11}$, and $R^{13}$ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

$R^{10}$ and $R^{12}$ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;

$Y^0$ is selected from the group consisting of:
1-$Q^b$-4-$Q^s$-2-$R^{16}$-3-$R^{17}$-5-$R^{18}$-6-$R^{19}$benzene, 2-$Q^b$-5-$Q^s$-6-$R^{17}$-4-$R^{18}$-2-$R^{19}$pyridine, 3-$Q^b$-6-$Q^s$-2-$R^{16}$-5-$R^{18}$-4-$R^{19}$pyridine, 2-$Q^b$-4-$Q^s$-3-$R^{16}$-6-$R^{18}$pyrazine, 3-$Q^b$-6-$Q^s$-2-$R^{18}$-5-$R^{18}$-4-$R^{19}$pyridazine, 2-$Q^b$-5-$Q^s$-6-$R^{17}$-4-$R^{18}$pyrimidine, 5-$Q^b$-2-$Q^s$-3-$R^{16}$-6-$R^{19}$pyrimidine, 3-$Q^b$-5-$Q^s$-4-$R^{16}$-2-$R^{19}$thiophene, 2-$Q^b$-5-$Q^s$-3-$R^{16}$-4-$R^{17}$thiophene, 3-$Q^b$-5-$Q^s$-4-$R^{16}$-2-$R^{19}$furan, 2-$Q^b$-5-$Q^s$-3-$R^{16}$-4-$R^{17}$furan, 3-$Q^b$-5-$Q^s$-4-$R^{16}$-2-$R^{19}$pyrrole, 2-$Q^b$-5-$Q^s$-3-$R^{16}$-4-$R^{17}$pyrrole, 4-$Q^b$-2-$Q^s$-5-$R^{19}$imidazole, 2-$Q^b$-4-$Q^s$-5-$R^{17}$imidazole, 3-$Q^b$-5-$Q^s$-4-$R^{16}$isoxazole, 5-$Q^b$-3-$Q^s$-4-$R^{16}$isoxazole, 2-$Q^b$-5-$Q^s$-4-$R^{16}$pyrazole, 4-$Q^b$-2-$Q^s$-5-$R^{19}$thiazole, and 2-$Q^b$-5-$Q^s$-4-$R^{17}$thiazole;

[$R^{16}$,] $R^{17}$[,] **and** $R^{18}$ [, and $R^{19}$] are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-

pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

**$R^{16}$ and $R^{19}$ are independently selected from the group consisting of:**

**(i) hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano; and**

**(ii)** [$R^{16}$ and $R^{19}$ are optionally] $Q^b$ with the proviso that no more than one of $R^{16}$ and $R^{19}$ is $Q^b$ at the same time and that $Q^b$ is $Q^{be}$;

$Q^b$ is selected from the group consisting of $NR^{20}R^{21}$, $Q^{be}$, wherein $Q^{be}$ is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos that no more than one of $R^{20}$ and $R^{21}$ is hydroxy at the same time and that no more than one of $R^{23}$ and $R^{24}$ is hydroxy at the same time;

$R^{20}$, $R^{21}$, $R^{23}$, $R^{24}$, $R^{25}$, and $R^{26}$ are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

$Q^s$ is selected from the group consisting of a single covalent bond, $CH_2$, and $CH_2CH_2$.

19. (once amended) The compound as recited in Claim 18 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido,ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl,(S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of single covalent bond,$CH_2$, NHC(O), $CH_2CH_2$, $CH_2CH_2CH_2$, and $CH_3CHCH_2$;

$R^1$ and $X^o$ are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$ is $Z^0$-Q;

$Z^0$ is selected from the group consisting of a covalent single bond, O, S, NH, and $CH_2$;

Q is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$Y^0$ is selected from the group consisting of:
1-$Q^b$-4-$Q^s$-2-$R^{16}$-3-$R^{17}$-5-$R^{18}$-6-$R^{19}$benzene, 2-$Q^b$-5-$Q^s$-6-$R^{17}$-4-$R^{18}$-2-$R^{19}$pyridine, 3-$Q^b$-6-$Q^s$-2-$R^{16}$-5-$R^{18}$-4-$R^{19}$pyridine, 3-$Q^b$-5-$Q^s$-4-$R^{16}$-2-$R^{19}$thiophene, and 2-$Q^b$-5-$Q^s$-3-$R^{16}$-4-$R^{17}$thiophene;

$R^{16}$ and $R^{19}$ are independently selected from the group consisting of:

**(i)** hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano; **and**

**(ii)** [$R^{16}$ and $R^{19}$ are optionally] $Q^b$ with the proviso that no more than one of $R^{16}$ and $R^{19}$ is $Q^b$ at the same time and that $Q^b$ is $Q^{be}$;

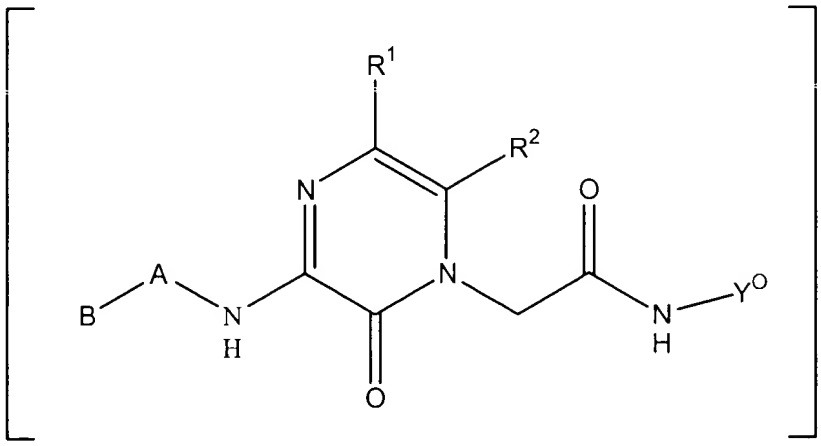
$R^{17}$ and $R^{18}$ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

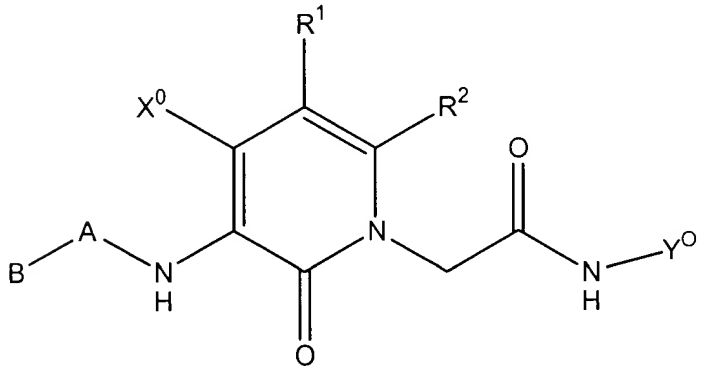
$Q^b$ is selected from the group consisting of $Q^{be}$ wherein $Q^{be}$ is hydrido and $C(NR^{25})NR^{23}R^{24}$;

$R^{23}$, $R^{24}$, and $R^{25}$ are independently selected from the group consisting of hydrido and methyl;

$Q^s$ is $CH_2$.

20. (once amended) The compound as recited in Claim 17 having the Formula:





or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;

$R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and $Q^b$;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}$-$(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and $W^7$ is $N(R^7)$;

$R^7$ is selected from the group consisting of hydrido and alkyl;

$R^{15}$ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$R^1$ and $X^o$ are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$R^2$ is $Z^0$-Q;

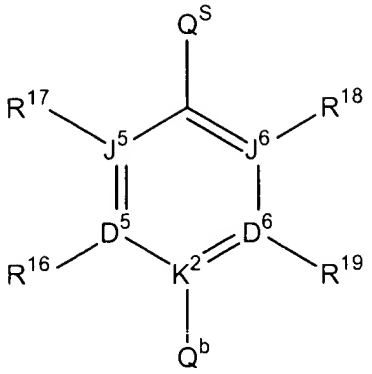
$Z^0$ is a covalent single bond;

Q is selected from the group consisting of aryl and heteroaryl wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^9$, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^{13}$, a carbon adjacent to $R^9$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{10}$, a carbon adjacent to $R^{13}$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{12}$, and any carbon adjacent to both $R^{10}$ and $R^{12}$ is optionally substituted by $R^{11}$;

$R^9$, $R^{11}$, and $R^{13}$ are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkylamidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

$R^{10}$ and $R^{12}$ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyamido, carboxyalkyl, and cyano;

$Y^0$ is **an aryl or heteroaryl of 5 or 6 ring members of the** formula (IV):



(IV)

wherein $D^5$, $D^6$, $J^5$, and $J^6$ are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, $K^2$ is C, no more than one of $D^5$, $D^6$, $J^5$, and $J^6$ is O, no more than one of $D^5$, $D^6$, $J^5$, and $J^6$ is S, one of $D^5$, $D^6$, $J^5$, and $J^6$ must be a covalent bond when two of $D^5$, $D^6$, $J^5$, and $J^6$ are O and S, and no more than four of $D^5$, $D^6$, $J^5$, and $J^6$ are N;

[$R^{16}$,] $R^{17}$ [,] **and** $R^{18}$ [, and $R^{19}$] are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

**$R^{16}$ and $R^{19}$ are independently selected from the group consisting of:**

**(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and**

**(ii)** [$R^{16}$ and $R^{19}$ are optionally] $Q^b$ with the proviso that no more than one of $R^{16}$ and $R^{19}$ is $Q^b$ at the same time and that $Q^b$ is $Q^{be}$;

$Q^b$ is selected from the group consisting of $NR^{20}R^{21}$, $Q^{be}$ wherein $Q^{be}$ is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$;

$R^{20}$, $R^{21}$, $R^{23}$, $R^{24}$, $R^{25}$, and $R^{26}$ are independently selected from the group consisting of hydrido and alkyl;

$Q^s$ is $CH_2$.

21. (once amended) The compound as recited in Claim 17 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;

$R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$ are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and $Q^b$;

A is selected from the group consisting of:

**(i) a** single covalent bond, NH, $N(CH_3)$, $CH_2$, $CH_3CH$, and $CH_2CH_2$; **and**

**(ii)** [A is optionally selected from the group consisting of] $CH_2N(CH_3)$, $CH_2N(CH_2CH_3)$, $CH_2CH_2N(CH_3)$, and $CH_2CH_2N(CH_2CH_3)$ with the proviso that B is hydrido;

$X^o$ is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

$R^1$ is selected from the group consisting of hydrido, hydroxy, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$ is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^9$, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^{13}$, a carbon adjacent to $R^9$ and two atoms from the carbon at the point of attachment is

optionally substituted by $R^{10}$, a carbon adjacent to $R^{13}$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{12}$, and any carbon adjacent to both $R^{10}$ and $R^{12}$ is optionally substituted by $R^{11}$;

$R^9$, $R^{11}$, and $R^{13}$ are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

$R^{10}$ and $R^{12}$ are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

$Y^0$ is selected from the group consisting of:
1-$Q^b$-4-$Q^s$-2-$R^{16}$-3-$R^{17}$-5-$R^{18}$-6-$R^{19}$benzene, 2-$Q^b$-5-$Q^s$-6-$R^{17}$-4-$R^{18}$-2-$R^{19}$pyridine, 2-$Q^b$-5-$Q^s$-3-$R^{16}$-4-$R^{17}$thiophene, 3-$Q^b$-6-$Q^s$-2-$R^{16}$-5-$R^{18}$-4-$R^{19}$pyridine, 3-$Q^b$-5-$Q^s$-4-$R^{16}$-2-$R^{19}$thiophene, 3-$Q^b$-5-$Q^s$-4-$R^{16}$-2-$R^{19}$furan, 2-$Q^b$-5-$Q^s$-3-$R^{16}$-4-$R^{17}$furan, 3-$Q^b$-5-$Q^s$-4-$R^{16}$-2-$R^{19}$pyrrole, 2-$Q^b$-5-$Q^s$-3-$R^{16}$-4-$R^{17}$pyrrole, 4-$Q^b$-2-$Q^s$-5-$R^{19}$thiazole, and 2-$Q^b$-5-$Q^s$-4-$R^{17}$thiazole;

$R^{16}$, $R^{17}$, $R^{18}$, and $R^{19}$ are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano.

$Q^b$ is selected from the group consisting of $NR^{20}R^{21}$, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the proviso that said $Q^b$ group is bonded directly to a carbon atom;

$R^{20}$, $R^{21}$, $R^{23}$, $R^{24}$, $R^{25}$, and $R^{26}$ are independently selected from the group consisting of hydrido, methyl, and ethyl;

$Q^s$ is $CH_2$.

22. (once amended) The compound as recited in Claim 21 or a pharmaceutically acceptable salt thereof, wherein;

B is selected from the group consisting of hydrido,ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl,(S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

A is selected from the group consisting of single covalent bond, $CH_2$, $CH_3CH$, and $CH_2CH_2$;

$X^o$ is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

$R^1$ is selected from the group consisting of hydrido, hydroxy, amino, aminomethyl, cyano, methyl, trifluoromethyl, and fluoro;

$R^2$ is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$Y^0$ is selected from the group consisting of:
1-$Q^b$-4-$Q^s$-2-$R^{16}$-3-$R^{17}$-5-$R^{18}$-6-$R^{19}$benzene, 2-$Q^b$-5-$Q^s$-6-$R^{17}$-4-$R^{18}$-2-$R^{19}$pyridine, 3-$Q^b$-6-$Q^s$-2-$R^{16}$-5-$R^{18}$-4-$R^{19}$pyridine, 3-$Q^b$-5-$Q^s$-4-$R^{16}$-2-$R^{19}$thiophene, and 2-$Q^b$-5-$Q^s$-3-$R^{16}$-4-$R^{17}$thiophene;

$R^{16}$ and $R^{19}$ are independently selected from the group consisting of:

**(i)** hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano; **and**

**(ii)** [$R^{16}$ and $R^{19}$ are optionally] $Q^b$ with the proviso that no more than one of $R^{16}$ and $R^{19}$ is $Q^b$ at the same time and that $Q^b$ is $Q^{be}$;

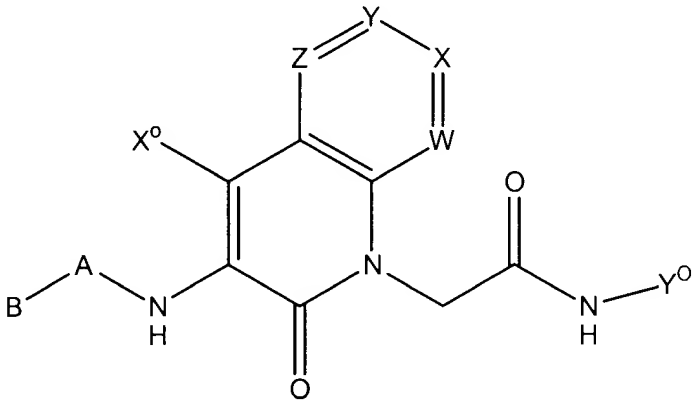
$R^{17}$ and $R^{18}$ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$ is selected from the group consisting of $Q^{be}$ wherein $Q^{be}$ is hydrido and $C(NR^{25})NR^{23}R^{24}$;

$R^{23}$, $R^{24}$, and $R^{25}$ are independently selected from the group consisting of hydrido and methyl;

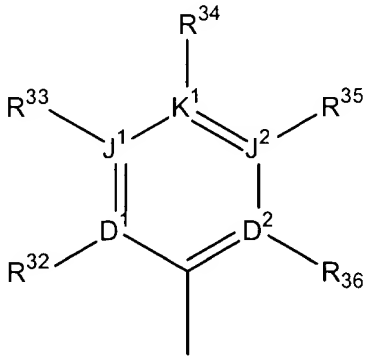
$Q^s$ is $CH_2$.

33. (once amended) [The] **A** compound [as recited in Claim 2] having the Formula:




or a pharmaceutically acceptable salt thereof, wherein;

[B is the Formula (V):



(V)

wherein $D^1$, $D^2$, $J^1$, $J^2$ and $K^1$ are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of $D^1$, $D^2$, $J^1$, $J^2$ and $K^1$ is O, no more than one of $D^1$, $D^2$, $J^1$, $J^2$ and $K^1$ is S, one of $D^1$, $D^2$, $J^1$, $J^2$ and $K^1$ must be a covalent bond when two of $D^1$, $D^2$, $J^1$, $J^2$ and $K^1$ are O and S, and no more than four of $D^1$, $D^2$, $J^1$, $J^2$ and $K^1$ are N;]

**B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;**

$R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and $Q^b$;

[B is optionally selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;

B is selected from the group consisting of C3-C7 cycloalkyl and C4-C6 saturated heterocyclyl, wherein each ring carbon is optionally substituted with $R^{33}$, a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with $R^9$ or $R^{13}$, a ring carbon or nitrogen adjacent to the $R^9$ position and two atoms from the point of attachment is optionally substituted with $R^{10}$, a ring carbon or nitrogen adjacent to the $R^{13}$ position and two atoms from the point of attachment is optionally substituted with $R^{12}$, a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the $R^{10}$ position is optionally substituted with $R^{11}$, a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the $R^{12}$ position is optionally substituted with $R^{33}$, and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the $R^{11}$ and $R^{33}$ positions is optionally substituted with $R^{34}$;]

$R^9$, $R^{10}$, $R^{11}$, $R^{12}$, and $R^{13}$ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, heteroaryl, heterocyclyl, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxyalkyl, carboxy, carboxamido, and cyano;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}$-$(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and $W^7$ is selected from the group consisting of $(R^7)NC(O)$ and $N(R^7)$ **with the proviso that no more than one of the group consisting of rr and pa is 0 at the same time**;

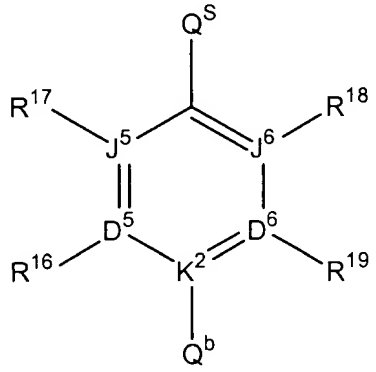
$R^7$ is selected from the group consisting of hydrido, hydroxy and alkyl;

$R^{15}$ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$X^0$ is selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

W, X, Y, and Z are independently selected from the group consisting of $C(R^9)$, $C(R^{10})$, $C(R^{11})$, $C(R^{12})$, N, $N(R^{10})$, O, S and a covalent bond with the provisos that one of W, X, Y, and Z is independently selected to be a covalent bond when one of W, X, Y, and Z is selected from the group consisting of N, $N(R^{10})$, O, and S, no more than one of W, X, Y, and Z is optionally selected from the group consisting of O and S, and no more than three of W, X, Y, and Z are optionally selected from the group consisting of N and $N(R^{10})$;

$Y^0$ is **an aryl or heteroaryl of 5 or 6 ring members of the** formula (IV):




(IV)

wherein $D^5$, $D^6$, $J^5$, and $J^6$ are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, $K^2$ is C, no more than one of $D^5$, $D^6$, $J^5$, and $J^6$ is O, no more than one of $D^5$, $D^6$, $J^5$, and $J^6$ is S, one of $D^5$, $D^6$, $J^5$, and $J^6$ must be a covalent bond when two of $D^5$, $D^6$, $J^5$, and $J^6$ are O and S, and no more than four of $D^5$, $D^6$, $J^5$, and $J^6$ are N, with the provisos that $R^{16}$, $R^{17}$, $R^{18}$, and $R^{19}$ are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

[$R^{16}$,] $R^{17}$ [,] **and** $R^{18}$ [, and $R^{19}$] are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

**$R^{16}$ and $R^{19}$ are independently selected from the group consisting of:**

**(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and**

**(ii)** [$R^{16}$ and $R^{19}$ are optionally] $Q^b$ with the proviso that no more than one of $R^{16}$ and $R^{19}$ is $Q^b$ at the same time and that $Q^b$ is $Q^{be}$;

$Q^b$ is selected from the group consisting of $NR^{20}R^{21}$, $Q^{be}$ wherein $Q^{be}$ is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of $R^{20}$ and $R^{21}$ is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of $R^{23}$ and $R^{24}$ is hydroxy, amino, alkylamino, or dialkylamino at the same time;

$R^{20}$, $R^{21}$, $R^{23}$, $R^{24}$, $R^{25}$, and $R^{26}$ are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino;

$Q^s$ is selected from the group consisting of a single covalent bond, $CH_2$, and $CH_2CH_2$.

34. (once amended) The compound as recited in Claim 33 or a pharmaceutically acceptable salt thereof, wherein;

[B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, 5-isoxazolyl, 2-pyridyl, 3-pyridyl, 4-pyridyl, 2-pyrazinyl, 2-pyrimidinyl, 4-pyrimidinyl, 5-pyrimidinyl, 3-pyridazinyl, 4-pyridazinyl, and 1,3,5-triazin-2-yl, wherein a

carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^{32}$, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^{36}$, a carbon adjacent to $R^{32}$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{33}$, a carbon adjacent to $R^{36}$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{35}$, and any carbon adjacent to both $R^{33}$ and $R^{35}$ is optionally substituted by $R^{34}$;]

**B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-butenyl, 1-methyl-2-butynyl, 3-pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2-methyl-2-butenyl, 2-methyl-3-butenyl, 2-methyl-3-butynyl, 3-methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-propenyl, 1-ethyl-2-butynyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 6-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-5-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-4-pentenyl, 1-butyl-2-propenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;**

$R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, cyano, and $Q^b$;

[B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 3-butenyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 4-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 1-methyl-2-butenyl, 1-methyl-3-butenyl, 1-methyl-2-butynyl, 3-pentyl, 1-ethyl-2-propenyl, 2-methylbutyl, 2-methyl-2-butenyl, 2-methyl-3-butenyl, 2-methyl-3-butynyl, 3-methylbutyl, 3-methyl-2-butenyl, 3-methyl-3-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 5-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-4-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-ethyl-3-butenyl, 1-propyl-2-propenyl, 1-ethyl-2-butynyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 6-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-5-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-4-pentenyl, 1-butyl-2-propenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, thiaetan-3-yl, cyclopentyl, cyclohexyl, norbornyl, 7-oxabicyclo[2.2.1]heptan-2-yl, bicyclo[3.1.0]hexan-6-yl, cycloheptyl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyran-4-one-2-yl, 4H-pyran-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with $R^{33}$, a ring carbon and nitrogen atoms adjacent to the carbon atom at the point of attachment is optionally substituted with $R^9$ or $R^{13}$, a ring carbon or nitrogen atom adjacent to the $R^9$ position and two atoms from the point of attachment is optionally substituted with $R^{10}$, and a ring carbon or nitrogen atom adjacent to the $R^{13}$ position and two atoms from the point of attachment is optionally substituted with $R^{12}$;]

[$R^9$ and $R^{11}$ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy,

isopropoxy, propoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, and cyano;

$R^{10}$ and $R^{12}$ are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, carboxymethyl, methyl, ethyl, propyl, isopropyl, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, methoxyamino, ethoxyamino, acetamido, trifluoroacetamido, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methanesulfonamido, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, methoxycarbonyl, ethoxycarbonyl, amidocarbonyl, N-methylamidocarbonyl, N,N-dimethylamidocarbonyl, fluoro, chloro, bromo, and cyano;]

A is selected from the group consisting of:

**(i) a** single covalent bond, NH, $N(CH_3)$, N(OH), $CH_2$, $CH_3CH$, $CF_3CH$, NHC(O), $N(CH_3)C(O)$, C(O)NH, $C(O)N(CH_3)$, $CH_2CH_2$, $CH_2CH_2CH_2$, $CH_3CHCH_2$, and $CF_3CHCH_2$; **and**

**(ii)** [A is optionally selected from the group consisting of] $CH_2N(CH_3)$, $CH_2N(CH_2CH_3)$, $CH_2CH_2N(CH_3)$, and $CH_2CH_2N(CH_2CH_3)$ with the proviso that B is hydrido;

$X^o$ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

W, X, Y, and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, $C-CH_3$, $C-CH_2CH_3$, $C-NH_2$, $C-CH_2NH_2$, $C-CH_2NHCH_3$, $C-NHCH_3$, $C-N(CH_3)_2$, $C-CH(NH_2)CH_3$, $C-CH_2CH_2NH_2$, $C-NHOCH_3$, $C-NHOCH_2CH_3$, $C-C(NH)NH_2$, $C-C(NOH)NH_2$, C-OH, $C-CH_2OH$, $C-CH_2CH_2OH$, $C-CH(OH)CH_3$, $C-OCH_3$, $C-OCH_2CH_3$, $C-CO_2H$, $C-CO_2CH_3$, $C-C(O)NH_2$, $C-C(O)NHCH_3$, $C-C(O)NH(CH_3)_2$, $C-CH_2CO_2H$, $C-SO_2NH_2$, $C-SO_2NHCH_3$, $C-NH(O)CCH_3$, and $C-NH(O)CCF_3$;

$Y^0$ is selected from the group consisting of:

1-$Q^b$-4-$Q^s$-2-$R^{16}$-3-$R^{17}$-5-$R^{18}$-6-$R^{19}$benzene, 2-$Q^b$-5-$Q^s$-6-$R^{17}$-4-$R^{18}$-2-$R^{19}$pyridine, 3-$Q^b$-6-$Q^s$-2-$R^{16}$-5-$R^{18}$-4-$R^{19}$pyridine, 2-$Q^b$-4-$Q^s$-3-$R^{16}$-6-$R^{18}$pyrazine, 3-$Q^b$-6-$Q^s$-2-$R^{18}$-5-$R^{18}$-4-$R^{19}$pyridazine, 2-$Q^b$-5-$Q^s$-6-$R^{17}$-4-$R^{18}$pyrimidine, 5-$Q^b$-2-$Q^s$-3-$R^{16}$-6-$R^{19}$pyrimidine, 3-$Q^b$-5-$Q^s$-4-$R^{16}$-2-$R^{19}$thiophene, 2-$Q^b$-5-$Q^s$-3-$R^{16}$-4-$R^{17}$thiophene, 3-$Q^b$-5-$Q^s$-4-$R^{16}$-2-$R^{19}$furan, 2-$Q^b$-5-$Q^s$-3-$R^{16}$-4-$R^{17}$furan, 3-$Q^b$-5-$Q^s$-4-$R^{16}$-2-$R^{19}$pyrrole, 2-$Q^b$-5-$Q^s$-3-$R^{16}$-4-$R^{17}$pyrrole, 4-$Q^b$-2-$Q^s$-5-$R^{19}$imidazole, 2-$Q^b$-4-$Q^s$-5-$R^{17}$imidazole, 3-$Q^b$-5-$Q^s$-4-$R^{16}$isoxazole, 5-$Q^b$-3-$Q^s$-4-$R^{16}$isoxazole, 2-$Q^b$-5-$Q^s$-4-$R^{16}$pyrazole, 4-$Q^b$-2-$Q^s$-5-$R^{19}$thiazole, and 2-$Q^b$-5-$Q^s$-4-$R^{17}$thiazole;

[$R^{16}$,] $R^{17}$ [,] **and** $R^{18}$ [, and $R^{19}$] are independently selected from the group consisting of hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano;

**$R^{16}$ and $R^{19}$ are independently selected from the group consisting of:**

**(i) hydrido, methyl, ethyl, isopropyl, propyl, carboxy, amidino, guanidino, methoxy, ethoxy, isopropoxy, propoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, N-ethylamino, methylthio, ethylthio, isopropylthio, trifluoromethylthio, methylsulfinyl, ethylsulfinyl, methylsulfonyl, ethylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, 2,2,3,3,3-pentafluoropropyl, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, 2,2,2-trifluoro-1-hydroxyethyl, and cyano; and**

**(ii)** [$R^{16}$ and $R^{19}$ are optionally] $Q^b$ with the proviso that no more than one of $R^{16}$ and $R^{19}$ is $Q^b$ at the same time and that $Q^b$ is $Q^{be}$;

$Q^b$ is selected from the group consisting of $NR^{20}R^{21}$, $Q^{be}$ wherein $Q^{be}$ is hydrido, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the provisos that no more than one of $R^{20}$ and $R^{21}$ is hydroxy at the same time and that no more than one of $R^{23}$ and $R^{24}$ is hydroxy at the same time;

$R^{20}$, $R^{21}$, $R^{23}$, $R^{24}$, $R^{25}$, and $R^{26}$ are independently selected from the group consisting of hydrido, methyl, ethyl, propyl, butyl, isopropyl, and hydroxy;

$Q^s$ is selected from the group consisting of a single covalent bond, $CH_2$, and $CH_2CH_2$.

35. (once amended) The compound as recited in Claim 34 or a pharmaceutically acceptable salt thereof, wherein;

[B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazoyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-trifluoromethyl-2-pyridyl;]

B is [optionally] selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl,(S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

[B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1-pyrrolidinyl, 1-piperidinyl, 1,1-dioxothiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 7-oxabicyclo[2.2.1]heptan-2-yl, bicyclo[3.1.0]hexan-6-yl, 2-morpholinyl, 3-morpholinyl, 4-morpholinyl, 1-piperazinyl, 2-piperazinyl, 1-piperidinyl, 2-piperidinyl, 3-piperidinyl, 4-piperidinyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-dioxanyl, 4H-2-pyranyl, 4H-3-pyranyl, 4H-4-pyranyl, 4H-pyran-4-one-2-yl, 4H-pyran-4-one-3-yl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydropyranyl, 3-tetrahydropyranyl, 4-tetrahydropyranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl;]

A is selected from the group consisting of single covalent bond, $CH_2$, NHC(O), $CH_2CH_2$, and $CH_2CH_2CH_2$;

$X^o$ is selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

W and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, C-$NH_2$, C-$CH_2NH_2$, C-$NHCH_3$, C-OH, C-$CH_2OH$, C-$CO_2H$, and C-$C(O)NH_2$;

X and Y are independently selected from the group consisting of CH, N, CF, C-CN, C-$CH_3$, C-$NH_2$, C-$CH_2NH_2$, C-$CH_2NHCH_3$, C-$NHCH_3$, C-$CH(NH_2)CH_3$, C-$CH_2CH_2NH_2$, C-$NHOCH_3$, C-$C(NH)NH_2$, C-$C(NOH)NH_2$, C-OH, C-$CH_2OH$, C-$CH_2CH_2OH$, C-$CH(OH)CH_3$, C-$OCH_3$, C-$CO_2H$, C-$C(O)NH_2$, C-$C(O)NHCH_3$, C-$CH_2CO_2H$, and C-$SO_2NH_2$;

$Y^0$ is selected from the group consisting of:
1-$Q^b$-4-$Q^s$-2-$R^{16}$-3-$R^{17}$-5-$R^{18}$-6-$R^{19}$benzene, 2-$Q^b$-5-$Q^s$-6-$R^{17}$-4-$R^{18}$-2-$R^{19}$pyridine, 3-$Q^b$-6-$Q^s$-2-$R^{16}$-5-$R^{18}$-4-$R^{19}$pyridine, 3-$Q^b$-5-$Q^s$-4-$R^{16}$-2-$R^{19}$thiophene, and 2-$Q^b$-5-$Q^s$-3-$R^{16}$-4-$R^{17}$thiophene;

$R^{16}$ and $R^{19}$ are independently selected from the group consisting of:

**(i)** hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano; **and**

**(ii)** [$R^{16}$ and $R^{19}$ are optionally] $Q^b$ with the proviso that no more than one of $R^{16}$ and $R^{19}$ is $Q^b$ at the same time and that $Q^b$ is $Q^{be}$;

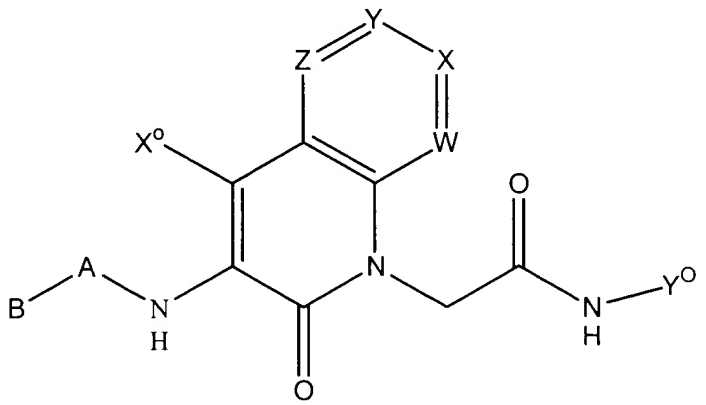
$R^{17}$ and $R^{18}$ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$ is selected from the group consisting of $Q^{be}$ wherein $Q^{be}$ is hydrido and $C(NR^{25})NR^{23}R^{24}$;

$R^{23}$, $R^{24}$, and $R^{25}$ are independently selected from the group consisting of hydrido and methyl;

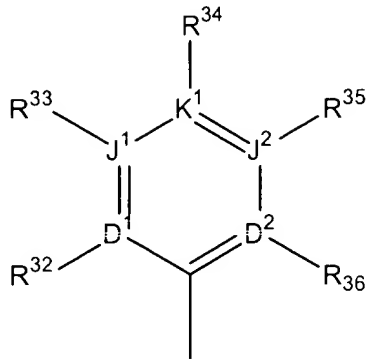
$Q^s$ is $CH_2$.

36. (once amended) The compound as recited in Claim 33 having the Formula:



or a pharmaceutically acceptable salt thereof, wherein;

[B is the Formula (V):



(V)

wherein $D^1$, $D^2$, $J^1$, $J^2$ and $K^1$ are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, no more than one of $D^1$, $D^2$, $J^1$, $J^2$ and $K^1$ is O, no more than one of $D^1$, $D^2$, $J^1$, $J^2$ and $K^1$ is S, one of $D^1$, $D^2$, $J^1$, $J^2$ and $K^1$ must be a covalent bond when two of $D^1$, $D^2$, $J^1$, $J^2$ and $K^1$ are O and S, and no more than four of $D^1$, $D^2$, $J^1$, $J^2$ and $K^1$ are N;]

**B is selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;**

$R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboalkoxy, carboxy, carboxamido, cyano, and $Q^b$;

[B is optionally selected from the group consisting of hydrido, C2-C8 alkyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;

B is optionally selected from the group consisting of C3-C7 cycloalkyl and C4-C6 saturated heterocyclyl, wherein each ring carbon is optionally substituted with $R^{33}$, a ring carbon other than the ring carbon at the point of attachment of B to A is optionally

substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with $R^9$ or $R^{13}$, a ring carbon or nitrogen adjacent to the $R^9$ position and two atoms from the point of attachment is optionally substituted with $R^{10}$, a ring carbon or nitrogen adjacent to the $R^{13}$ position and two atoms from the point of attachment is optionally substituted with $R^{12}$, a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the $R^{10}$ position is optionally substituted with $R^{11}$, a ring carbon or nitrogen three atoms from the point of attachment and adjacent to the $R^{12}$ position is optionally substituted with $R^{33}$, and a ring carbon or nitrogen four atoms from the point of attachment and adjacent to the $R^{11}$ and $R^{33}$ positions is optionally substituted with $R^{34}$;]

$R^9$, $R^{11}$, and $R^{13}$ are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, guanidino, lower alkylamino, alkylthio, alkoxy, alkylsulfinyl, alkylsulfonyl, amidosulfonyl, monoalkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, carboxy, carboxamido, and cyano;

$R^{10}$ and $R^{12}$ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkyl, alkoxy, alkoxyamino, aminoalkyl, hydroxy, amino, lower alkylamino, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, hydroxyalkyl, aminoalkyl, halo, haloalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxyamido, and cyano;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}$-$(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and $W^7$ is $N(R^7)$;

$R^7$ is selected from the group consisting of hydrido, hydroxy and alkyl;

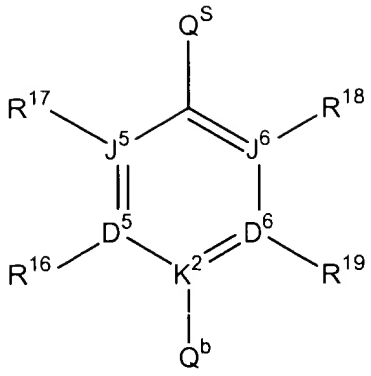
$R^{15}$ is selected from the group consisting of hydrido, halo, alkyl, and haloalkyl;

$X^0$ is selected from the group consisting of hydrido, alkyl, cyano, halo, haloalkyl, haloalkoxy, amino, aminoalkyl, alkylamino, amidino, hydroxy, hydroxyamino, alkoxy, hydroxyalkyl, alkoxyamino, thiol, and alkylthio;

$R^1$ and $R^2$ are taken together to be -W=X-Y=Z- wherein -W=X-Y=Z- forms a ring selected from the group consisting of a heteroaryl ring having 6 contiguous members and an aryl;

W, X, Y, and Z are independently selected from the group consisting of $C(R^9)$, $C(R^{10})$, $C(R^{11})$, $C(R^{12})$, and N;

$Y^0$ is **an aryl or heteroaryl of 5 or 6 ring members of the** formula (IV):



(IV)

wherein $D^5$, $D^6$, $J^5$, and $J^6$ are independently selected from the group consisting of C, N, O, S and a covalent bond with the provisos that no more than one is a covalent bond, $K^2$ is C, no more than one of $D^5$, $D^6$, $J^5$, and $J^6$ is O, no more than one of $D^5$, $D^6$, $J^5$, and $J^6$ is S, one of $D^5$, $D^6$, $J^5$, and $J^6$ must be a covalent bond when two of $D^5$, $D^6$, $J^5$, and $J^6$ are O and S, and no more than four of $D^5$, $D^6$, $J^5$, and $J^6$ are N, with the provisos that $R^{16}$, $R^{17}$, $R^{18}$, and $R^{19}$ are each independently selected to maintain the tetravalent nature of carbon, trivalent nature of nitrogen, the divalent nature of sulfur, and the divalent nature of oxygen;

[$R^{16}$,] $R^{17}$ [,] **and** $R^{18}$ [, and $R^{19}$] are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

**$R^{16}$ and $R^{19}$ are independently selected from the group consisting of:**

**(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and**

**(ii)** [$R^{16}$ and $R^{19}$ are optionally] $Q^b$ with the proviso that no more than one of $R^{16}$ and $R^{19}$ is $Q^b$ at the same time and that $Q^b$ is $Q^{be}$;

$Q^b$ is selected from the group consisting of $NR^{20}R^{21}$, $Q^{be}$ wherein $Q^{be}$ is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$;

$R^{20}$, $R^{21}$, $R^{23}$, $R^{24}$, $R^{25}$, and $R^{26}$ are independently selected from the group consisting of hydrido and alkyl;

$Q^s$ is $CH_2$.

37. (once amended) The compound as recited in Claim 36 or a pharmaceutically acceptable salt thereof, wherein;

[B is selected from the group consisting of phenyl, 2-thienyl, 3-thienyl, 2-furyl, 3-furyl, 2-pyrrolyl, 3-pyrrolyl, 2-imidazolyl, 4-imidazolyl, 3-pyrazolyl, 4-pyrazolyl, 2-thiazolyl, 3-isoxazolyl, and 5-isoxazolyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^{32}$, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^{36}$, a carbon adjacent to $R^{32}$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{33}$, a carbon adjacent to $R^{36}$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{35}$, and any carbon adjacent to both $R^{33}$ and $R^{35}$ is optionally substituted by $R^{34}$;]

**B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;**

$R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$ are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and $Q^b$;

[B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-

methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, oxetan-3-yl, azetidin-3-yl, thiaetan-3-yl, cyclopentyl, cyclohexyl, 1-pyrrolidinyl, 2-pyrrolidinyl, 3-pyrrolidinyl, 2-tetrahydrofuranyl, 3-tetrahydrofuranyl, 2-tetrahydrothienyl, and 3-tetrahydrothienyl, wherein each ring carbon is optionally substituted with $R^{33}$, a ring carbon and nitrogen atoms adjacent to the carbon atom at the point of attachment is optionally substituted with $R^9$ or $R^{13}$, a ring carbon or nitrogen atom adjacent to the $R^9$ position and two atoms from the point of attachment is optionally substituted with $R^{10}$, and a ring carbon or nitrogen atom adjacent to the $R^{13}$ position and two atoms from the point of attachment is optionally substituted with $R^{12}$;]

[$R^9$, $R^{11}$, and $R^{13}$ are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino, methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

$R^{10}$ and $R^{12}$ are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;]

A is selected from the group consisting of:

**(i) a** single covalent bond, NH, $N(CH_3)$, $CH_2$, $CH_3CH$, and $CH_2CH_2$; **and**

**(ii)** [A is optionally selected from the group consisting of] $CH_2N(CH_3)$, $CH_2N(CH_2CH_3)$, $CH_2CH_2N(CH_3)$, and $CH_2CH_2N(CH_2CH_3)$ with the proviso that B is hydrido;

$X^o$ is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

W and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, C-$NH_2$, C-$CH_2NH_2$, C-$NHCH_3$, C-OH, C-$CH_2OH$, C-$CO_2H$, and C-$C(O)NH_2$;

X and Y are independently selected from the group consisting of CH, N, CF, C-CN, C-$CH_3$, C-$NH_2$, C-$CH_2NH_2$, C-$CH_2NHCH_3$, C-$NHCH_3$, C-$CH(NH_2)CH_3$, C-$CH_2CH_2NH_2$, C-$NHOCH_3$, C-$C(NH)NH_2$, C-$C(NOH)NH_2$, C-OH, C-$CH_2OH$, C-$CH_2CH_2OH$, C-$CH(OH)CH_3$, C-$OCH_3$, C-$CO_2H$, C-$C(O)NH_2$, C-$C(O)NHCH_3$, C-$CH_2CO_2H$, and C-$SO_2NH_2$;

$Q^b$ is selected from the group consisting of $NR^{20}R^{21}$, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the proviso that said $Q^b$ group is bonded directly to a carbon atom;

$R^{20}$, $R^{21}$, $R^{23}$, $R^{24}$, $R^{25}$, and $R^{26}$ are independently selected from the group consisting of hydrido, methyl, and ethyl;

$Q^s$ is $CH_2$.

38. (once amended) The compound as recited in Claim 37 or a pharmaceutically acceptable salt thereof, wherein;

[B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoromethylphenyl, 2-imidazoyl, 2-pyridyl, 3-pyridyl, 5-chloro-3-trifluoromethyl-2-pyridyl, 4-pyridyl, 2-thienyl, 3-thienyl, and 3-trifluoromethyl-2-pyridyl;]

B is [optionally] selected from the group consisting of hydrido,ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl,(S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-

amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

[B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1,1-dioxothiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, 1-pyrrolidinyl and 1-piperidinyl;]

A is selected from the group consisting of single covalent bond, $CH_2$, $CH_3CH$, and $CH_2CH_2$;

$X^o$ is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

W and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, C-$NH_2$, C-$CH_2NH_2$, C-OH, C-$CH_2OH$, C-$CO_2H$, and C-C(O)$NH_2$;

X and Y are independently selected from the group consisting of CH, N, CF, C-CN, C-$NH_2$, C-$CH_2NH_2$, C-$CH_2CH_2NH_2$, C-C(NH)$NH_2$, C-C(NOH)$NH_2$, C-OH, C-$CH_2OH$, C-$CH_2CH_2OH$, C-$CO_2H$, C-C(O)$NH_2$, and C-$CH_2CO_2H$;

$Q^b$ is selected from the group consisting of $NR^{20}R^{21}$, $C(NR^{25})NR^{23}R^{24}$, and $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, with the proviso that said $Q^b$ group is bonded directly to a carbon atom;

$R^{20}$, $R^{21}$, $R^{23}$, $R^{24}$, $R^{25}$, and $R^{26}$ are independently selected from the group consisting of hydrido, methyl, and ethyl;

$Q^s$ is $CH_2$.

39. (once amended) The compound as recited in Claim 38 or a pharmaceutically acceptable salt thereof, wherein;

[B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, phenyl, 2-imidazoyl, 3-pyridyl, 4-pyridyl, and 3-trifluoromethyl-2-pyridyl;]

B is [optionally] selected from the group consisting of hydrido,ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl,(S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

[B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, oxalan-2-yl, 2-(2R)-bicyclo[2.2.1]-heptyl, 1,1-dioxothiolan-3-yl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and1-piperidinyl;]

A is selected from the group consisting of single covalent bond, $CH_2$, $CH_3CH$, and $CH_2CH_2$;

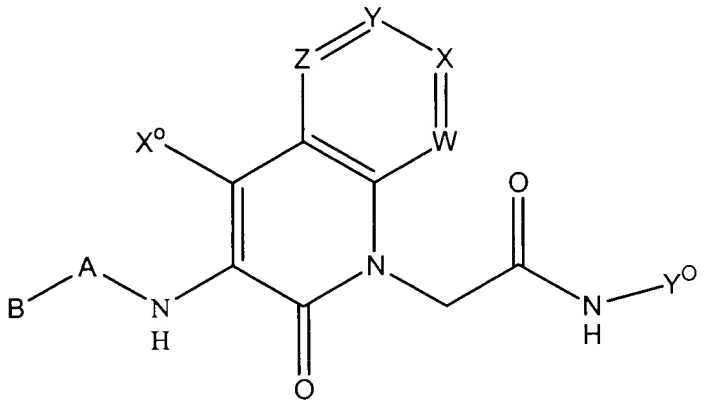
$X^o$ is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

W and Z are independently selected from the group consisting of CH, N, CF, CCl, C-CN, C-$NH_2$, C-$CH_2NH_2$, C-OH, C-$CH_2OH$, C-$CO_2H$, and C-C(O)$NH_2$;

X and Y are independently selected from the group consisting of CH, N, CF, C-CN, C-$NH_2$, C-$CH_2NH_2$, C-$CH_2CH_2NH_2$, C-C(NH)$NH_2$, C-C(NOH)$NH_2$, C-OH, C-$CH_2OH$, C-$CH_2CH_2OH$, C-$CO_2H$, C-C(O)$NH_2$, and C-$CH_2CO_2H$;

$Y^0$ is selected from the group consisting of 5-amidino-2-thienylmethyl, 4-amidinobenzyl, 2-fluoro-4-amidinobenzyl, and 3-fluoro-4-amidinobenzyl.

40. (once amended) A compound as recited in Claim 33 where said compound is selected from the group having the Formula:




or a pharmaceutically acceptable salt thereof, wherein:

[B is 3-chlorophenyl, A is $CH_2CH_2$, $Y^0$ is 4-amidinobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is phenyl, A is $CH_2$, $Y^0$ is 4-amidinobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is 3-chlorophenyl, A is $CH_2CH_2$, $Y^0$ is 4-amidinobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is 2-imidazoyl, A is $CH_2CH_2CH_2$, $Y^0$ is 4-amidinobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido;]

B is 2,2,2-trifluoroethyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is (S)-2-butyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is isopropyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is isopropyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido;

B is hydrido, A is $CH_2(CH_3)N$, $Y^0$ is 4-amidinobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is ethyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is ethyl, A is single bond, $Y^0$ is 4-amidino-2-fluorobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is 2-propenyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido;

B is isopropyl, A is single bond, $Y^0$ is 4-amidino-2-fluorobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is isopropyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is 2-butyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is (R)-2-butyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido;

B is 2-propynyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is hydrido, A is $CH_2$, $Y^0$ is 4-amidinobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

[B is cycylopropyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is cyclobutyl, A is single bond, $Y^0$ is 4-amidino-2-fluorobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido;

B is cyclobutyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is cyclopropyl, A is single bond, $Y^0$ is 4-amidino-2-fluorobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is cyclobutyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is cyclobutyl, A is single bond, $Y^0$ is 4-amidino-3-fluorobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido;

B is cyclopentyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is cyclobutyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is cyclopropyl, A is $CH_2$, $Y^0$ is 4-amidinobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido;

B is cyclopentyl, A is single bond, $Y^0$ is 4-amidino-2-fluorobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is cyclohexyl, A is $CH_2CH_2$, $Y^0$ is 4-amidinobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is 3-chlorophenyl, A is $CH_2CH_2$, $Y^0$ is 4-amidinobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is phenyl, A is $CH_2$, $Y^0$ is 4-amidinobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C-$NH_2$, Z is CH, and $X^o$ is hydrido;

B is 3-chlorophenyl, A is $CH_2CH_2$, $Y^0$ is 4-amidinobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is 2-imidazoyl, A is $CH_2CH_2CH_2$, $Y^0$ is 4-amidinobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;]

B is 2,2,2-trifluoroethyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is (S)-2-butyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido;

B is isopropyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is isopropyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is hydrido, A is $CH_2(CH_3)N$, $Y^0$ is 4-amidinobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is ethyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido;

B is ethyl, A is single bond, $Y^0$ is 4-amidino-2-fluorobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is 2-propenyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is isopropyl, A is single bond, $Y^0$ is 4-amidino-2-fluorobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is isopropyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido;

B is 2-butyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is (R)-2-butyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is 2-propynyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is hydrido, A is $CH_2$, $Y^0$ is 4-amidinobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C-$NH_2$, Z is CH, and $X^o$ is hydrido;

[B is cycylopropyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is cyclobutyl, A is single bond, $Y^0$ is 4-amidino-2-fluorobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is cyclobutyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is cyclopropyl, A is single bond, $Y^0$ is 4-amidino-2-fluorobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido;

B is cyclobutyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is cyclobutyl, A is single bond, $Y^0$ is 4-amidino-3-fluorobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is cyclopentyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

B is cyclobutyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido;

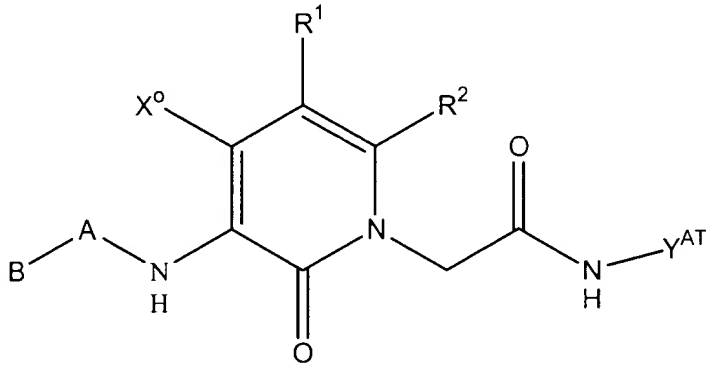
B is cyclopropyl, A is $CH_2$, $Y^0$ is 4-amidinobenzyl, W is CH, X is C-$NH_2$, Y is C-$CH_2CO_2H$, Z is CH, and $X^o$ is hydrido;

B is 2-(2R)-bicyclo[2.2.1]-heptyl, A is single bond, $Y^0$ is 4-amidinobenzyl, W is N, X is C-$CH_2NH_2$, Y is C-$CO_2H$, Z is CH, and $X^o$ is hydrido;

B is cyclopentyl, A is single bond, $Y^0$ is 4-amidino-2-fluorobenzyl, W is C-OH, X is C-$CH_2CH_2NH_2$, Y is C-OH, Z is CH, and $X^o$ is hydrido;

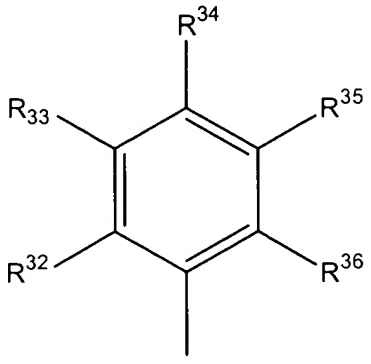
B is cyclohexyl, A is $CH_2CH_2$, $Y^0$ is 4-amidinobenzyl, W is C-$NH_2$, X is C-$CH_2OH$, Y is C- $NH_2$, Z is CH, and $X^o$ is hydrido.]

41. (once amended) The compound having the Formula:




or a pharmaceutically acceptable salt thereof, wherein;

[B is the Formula:




;]

**B is selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;**

$R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, amidino, guanidino, alkylenedioxy, haloalkylthio, alkanoyloxy, alkoxy, hydroxy, amino, alkoxyamino, haloalkanoyl, nitro, lower alkylamino, alkylthio, aryl, aralkyl, cycloalkyl, cycloalkylalkyl, alkylsulfonamido, amidosulfonyl, monoalkyl amidosulfonyl, dialkyl amidosulfonyl, alkyl, alkenyl, halo, haloalkyl, haloalkenyl, haloalkoxy, hydroxyalkyl, alkylamino, carboalkoxy, carboxy, carboxamido, cyano, and $Q^b$;

[B is optionally selected from the group consisting of hydrido, trialkylsilyl, C2-C8 alkyl, C3-C8 alkylenyl, C3-C8 alkenyl, C3-C8 alkynyl, and C2-C8 haloalkyl, wherein each member of group B is optionally substituted at any carbon up to and including 6 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;

B is optionally selected from the group consisting of C3-C12 cycloalkyl and C4-C saturated heterocyclyl, wherein each ring carbon is optionally substituted with $R^{33}$, a ring carbon other than the ring carbon at the point of attachment of B to A is optionally substituted with oxo provided that no more than one ring carbon is substituted by oxo at the same time, ring carbons and a nitrogen adjacent to the carbon atom at the point of attachment are optionally substituted with $R^9$ or $R^{13}$, a ring carbon or nitrogen adjacent to the $R^9$ position and two atoms from the point of attachment is optionally substituted with $R^{10}$, a ring carbon or nitrogen adjacent to the $R^{13}$ position and two atoms from the point of attachment is optionally substituted with $R^{12}$, a ring carbon three atoms from the point of attachment and adjacent to the $R^{10}$ position is optionally substituted with $R^{11}$, a ring carbon three atoms from the point of attachment and adjacent to the $R^{12}$ position is optionally substituted with $R^{33}$, and a ring carbon four atoms from the point of attachment and adjacent to the $R^{11}$ and $R^{33}$ positions is optionally substituted with $R^{34}$;]

$R^9$, $R^{10}$, $R^{11}$, $R^{12}$, and $R^{13}$ are independently selected from the group consisting of hydrido, acetamido, haloacetamido, alkoxyamino, alkanoyl, haloalkanoyl, amidino, guanidino, alkylenedioxy, haloalkylthio, alkoxy, hydroxy, amino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfamido, alkylsulfonyl, amidosulfonyl, monoalkyl

amidosulfonyl, dialkyl amidosulfonyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, carboalkoxy, carboxy, carboxyalkyl, carboxamido, and cyano;

A is selected from the group consisting of single covalent bond and $(CH(R^{15}))_{pa}$-$(W^7)_{rr}$ wherein rr is an integer selected from 0 through 1, pa is an integer selected from 0 through 3, and $W^7$ is selected from the group consisting of O, S, C(O), $(R^7)NC(O)$, $(R^7)NC(S)$, and $N(R^7)$ **with the proviso that no more than one of the group consisting of rr and pa is 0 at the same time**;

$R^7$ is selected from the group consisting of hydrido, hydroxy and alkyl;

$R^{15}$ is selected from the group consisting of hydrido, hydroxy, halo, alkyl, and haloalkyl;

$R^1$ and $X^o$ are independently selected from the group consisting of hydrido, hydroxy, hydroxyamino, amidino, amino, cyano, hydroxyalkyl, alkoxy, alkyl, alkylamino, aminoalkyl, alkylthio, alkoxyamino, haloalkyl, haloalkoxy, and halo;

$R^2$ is $Z^0$-Q;

$Z^0$ is [selected from the group consisting of] **a** covalent single bond [and $(CR^{41}R^{42})_q$ wherein q is an integer selected from 1 through 2, $(CH(R^{41}))_g$-$W^0$-$(CH(R^{42}))_p$ wherein g and p are integers independently selected from 0 through 3 and $W^0$ is selected from the group consisting of O, S, and $N(R^{41})$, and $(CH(R^{41}))_e$-$W^{22}$-$(CH(R^{42}))_h$ wherein e and h are integers independently selected from 0 through 1 and $W^{22}$ is selected from the group consisting of $CR^{41}=CR^{42}$, 1,2-cyclopropyl, 1,2-cyclobutyl, 1,2-cyclohexyl, 1,3-cyclohexyl, 1,2-cyclopentyl, 1,3-cyclopentyl, 2,3-morpholinyl, 2,4-morpholinyl, 2,6-morpholinyl, 3,4-morpholinyl, 3,5-morpholinyl, 1,2-piperazinyl, 1,3-piperazinyl, 2,3-piperazinyl, 2,6-piperazinyl, 1,2-piperidinyl, 1,3-piperidinyl, 2,3-piperidinyl, 2,4-piperidinyl, 2,6-piperidinyl, 3,4-piperidinyl, 1,2-pyrrolidinyl, 1,3-pyrrolidinyl, 2,3-pyrrolidinyl, 2,4-pyrrolidinyl, 2,5-pyrrolidinyl, 3,4-pyrrolidinyl, 2,3-tetrahydrofuranyl, 2,4-tetrahydrofuranyl, 2,5-tetrahydrofuranyl, and 3,4-tetrahydrofuranyl, with the proviso that $Z^0$ is directly bonded to the pyrazinone ring];

[$R^{41}$ and $R^{42}$ are independently selected from the group consisting of hydrido, hydroxy, and amino;]

Q is selected from the group consisting of [hydrido with the proviso that $Z^0$ is other than a covalent single bond,] aryl and heteroaryl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^9$, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^{13}$, a carbon adjacent to $R^9$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{10}$, a carbon adjacent to $R^{13}$ and two atoms from the carbon at

the point of attachment is optionally substituted by $R^{12}$, and any carbon adjacent to both $R^{10}$ and $R^{12}$ is optionally substituted by $R^{11}$;

K is $CHR^{4a}$ wherein $R^{4a}$ is selected from the group consisting of hydrido, hydroxyalkyl, alkyl, alkoxyalkyl, alkylthioalkyl, and haloalkyl;

$E^0$ is selected from the group consisting of a covalent single bond, C(O)N(H), (H)NC(O), $(R^7)NS(O)_2$, and $S(O)_2N(R^7)$;

$Y^{AT}$ is $Q^b$-$Q^s$;

$Q^s$ is $(CR^{37}R^{38})_b$ wherein b is an integer selected from 1 through 4, $R^{37}$ is selected from the group consisting of hydrido, alkyl, and haloalkyl, and $R^{38}$ is selected from the group consisting of hydrido, alkyl, haloalkyl, aroyl, and heteroaroyl with the provisos that there is at least one aroyl or heteroaroyl substituent, that no more than one aroyl or heteroaroyl is bonded to $(CR^{37}R^{38})_b$ at the same time, that said aroyl and said heteroaroyl are optionally substituted at from one through three of the ring carbons with a substituent selected from the group consisting of $R^{16}$, $R^{17}$, $R^{18}$, and $R^{19}$, that said aroyl and said heteroaroyl are bonded to the $CR^{37}R^{38}$ that is directly bonded to $E^0$, that is no more than one alkyl or one haloalkyl is bonded to a $CR^{37}R^{38}$ at the same time, and that said alkyl and haloalkyl are bonded to a carbon other than the one bonding the aroyl or heteroaroyl;

[$R^{16}$,] $R^{17}$ [,] **and** $R^{18}$ [, and $R^{19}$] are independently selected from the group consisting of hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano;

**$R^{16}$ and $R^{19}$ are independently selected from the group consisting of:**

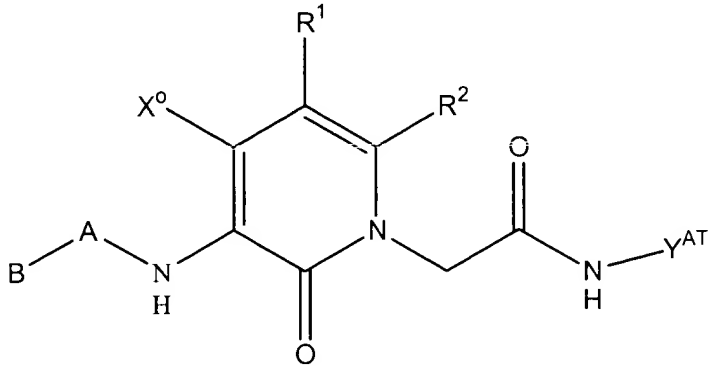
**(i) hydrido, amidino, guanidino, carboxy, haloalkylthio, alkoxy, hydroxy, amino, alkoxyamino, lower alkylamino, alkylthio, alkylsulfinyl, alkylsulfonyl, alkanoyl, haloalkanoyl, alkyl, halo, haloalkyl, haloalkoxy, hydroxyalkyl, aminoalkyl, and cyano; and**

**(ii)** [$R^{16}$ and $R^{19}$ are optionally] $Q^b$ with the proviso that no more than one of $R^{16}$ and $R^{19}$ is $Q^b$ at the same time and that $Q^b$ is $Q^{be}$;

$Q^b$ is selected from the group consisting of $NR^{20}R^{21}$, $Q^{be}$ wherein $Q^{be}$ is hydrido, $N(R^{26})C(NR^{25})N(R^{23})(R^{24})$, and $C(NR^{25})NR^{23}R^{24}$, with the provisos that no more than one of $R^{20}$ and $R^{21}$ is hydroxy, amino, alkylamino, or dialkylamino at the same time and that no more than one of $R^{23}$ and $R^{24}$ is hydroxy, amino, alkylamino, or dialkylamino at the same time;

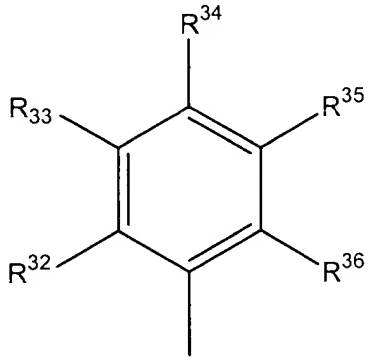
$R^{20}$, $R^{21}$, $R^{23}$, $R^{24}$, $R^{25}$, and $R^{26}$ are independently selected from the group consisting of hydrido, alkyl, hydroxy, amino, alkylamino and dialkylamino.

42. (once amended) The compound as recited in Claim 41 having the Formula:




or a pharmaceutically acceptable salt thereof, wherein;

[B is the Formula:




;]

**B is selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-**

**difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;**

$R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$ are independently selected from the group consisting of hydrido, amidino, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, amidocarbonyl, carboxy, cyano, and $Q^b$;

[B is optionally selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butenyl, 2-butynyl, sec-butyl, *tert*-butyl, isobutyl, 2-methylpropenyl, 1-pentyl, 2-pentenyl, 3-pentenyl, 2-pentynyl, 3-pentynyl, 2-pentyl, 3-pentyl, 2-methylbutyl, 2-methyl-2-butenyl, 3-methylbutyl, 3-methyl-2-butenyl, 1-hexyl, 2-hexenyl, 3-hexenyl, 4-hexenyl, 2-hexynyl, 3-hexynyl, 4-hexynyl, 2-hexyl, 1-methyl-2-pentenyl, 1-methyl-3-pentenyl, 1-methyl-2-pentynyl, 1-methyl-3-pentynyl, 3-hexyl, 1-ethyl-2-butenyl, 1-heptyl, 2-heptenyl, 3-heptenyl, 4-heptenyl, 5-heptenyl, 2-heptynyl, 3-heptynyl, 4-heptynyl, 5-heptynyl, 2-heptyl, 1-methyl-2-hexenyl, 1-methyl-3-hexenyl, 1-methyl-4-hexenyl, 1-methyl-2-hexynyl, 1-methyl-3-hexynyl, 1-methyl-4-hexynyl, 3-heptyl, 1-ethyl-2-pentenyl, 1-ethyl-3-pentenyl, 1-ethyl-2-pentynyl, 1-ethyl-3-pentynyl, 2,2,2-trifluoroethyl, 2,2-difluoropropyl, 4-trifluoromethyl-5,5,5-trifluoropentyl, 4-trifluoromethylpentyl, 5,5,6,6,6-pentafluorohexyl, and 3,3,3-trifluoropropyl, wherein each member of group B is optionally substituted at any carbon up to and including 5 atoms from the point of attachment of B to A with one or more of the group consisting of $R^{32}$, $R^{33}$, $R^{34}$, $R^{35}$, and $R^{36}$;

B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, cycloheptyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, azetidin-3-yl, and bicyclo[3.1.0]hexan-6-yl, wherein each ring carbon is optionally substituted with $R^{33}$, ring carbons and anitrogen adjacent to the carbon atom at the point of attachment is optionally substituted with $R^9$ or $R^{13}$, a ring carbon or nitrogen adjacent to the $R^9$ position and two atoms from the point of attachment is optionally substituted with $R^{10}$, and a ring carbon or nitrogen adjacent to the $R^{13}$ position and two atoms from the point of attachment is optionally substituted with $R^{12}$;]

$R^9$, $R^{11}$, and $R^{13}$ are independently selected from the group consisting of hydrido, methyl, ethyl, methoxy, ethoxy, hydroxy, amino, N-methylamino, N,N-dimethylamino,

methylthio, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, fluoro, chloro, bromo, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, hydroxymethyl, 1-hydroxyethyl, amidocarbonyl, N-methylamidocarbonyl, carboxy, and cyano;

$R^{10}$ and $R^{12}$ are independently selected from the group consisting of hydrido, amidino, amidocarbonyl, N-methylamidocarbonyl, guanidino, methyl, ethyl, methoxy, ethoxy, hydroxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, carboxy, carboxymethyl, amino, acetamido, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoroacetamido, aminomethyl, N-methylamino, dimethylamino, amidosulfonyl, N-methylamidosulfonyl, N,N-dimethylamidosulfonyl, methoxycarbonyl, fluoro, chloro, bromo, and cyano;

A is selected from the group consisting of single covalent bond, NH, $N(CH_3)$, $CH_2$, $CH_3CH$, $CH_2CH_2$, and $CH_2CH_2CH_2$;

$R^1$ and $X^o$ are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, 1-aminoethyl, methylamino, dimethylamino, cyano, methyl, ethyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, methoxy, hydroxymethyl, 1-hydroxyethyl, 2-hydroxyethyl, methoxyamino, methylthio, ethylthio, trifluoromethoxy, 1,1,2,2-tetrafluoroethoxy, fluoro, chloro, and bromo;

$R^2$ is selected from the group consisting of phenyl, 2-thienyl, 2-furyl, 2-pyrrolyl, 2-imidazolyl, 2-thiazolyl, 3-isoxazolyl, 2-pyridyl, and 3-pyridyl, wherein a carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^9$, the other carbon adjacent to the carbon at the point of attachment is optionally substituted by $R^{13}$, a carbon adjacent to $R^9$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{10}$, a carbon adjacent to $R^{13}$ and two atoms from the carbon at the point of attachment is optionally substituted by $R^{12}$, and any carbon adjacent to both $R^{10}$ and $R^{12}$ is optionally substituted by $R^{11}$;

$Y^{AT}$ is $Q^b$-$Q^s$;

$Q^s$ is selected from the group consisting of: $C[R^{37}(benzoyl)](CR^{37}R^{38})_b]$, $C[R^{37}(2\text{-}pyridylcarbonyl])](CR^{37}R^{38})_b]$, $C[R^{37}(3\text{-}pyridylcarbonyl])](CR^{37}R^{38})_b]$, $C[R^{37}(4\text{-}pyridylcarbonyl])](CR^{37}R^{38})_b]$, $C[R^{37}(2\text{-}thienylcarbonyl])](CR^{37}R^{38})_b]$, $C[R^{37}(3\text{-}thienylcarbonyl])](CR^{37}R^{38})_b]$, $C[R^{37}(2\text{-}thiazolylcarbonyl])](CR^{37}R^{38})_b]$, $C[R^{37}(4\text{-}thiazolylcarbonyl])](CR^{37}R^{38})_b]$, and $C[R^{37}(5\text{-}thiazolylcarbonyl])](CR^{37}R^{38})_b]$, wherein b is an integer selected from 1 through 3, $R^{37}$ and $R^{38}$ are independently selected from the group consisting of hydrido, alkyl, and haloalkyl, with the provisos that said aroyl and said heteroaroyl are optionally substituted at from one through three of the ring carbons

with a substituent selected from the group consisting of $R^{16}$, $R^{17}$, $R^{18}$, and $R^{19}$ with the proviso that $R^{17}$ and $R^{18}$ are optionally substituted at a carbon selected from other than the meta and para carbons relative to the carbonyl of the benzoyl substituent and the heteroaroyl substituent, that said benzoyl and said heteroaroyl are bonded to the carbon directly bonded to amide nitrogen of the 1-(amidocarbonymethylene) group, and that is no more than one alkyl or one haloalkyl is bonded to a $CR^{37}R^{38}$ at the same time;

$R^{16}$, $R^{17}$, $R^{18}$, and $R^{19}$ are independently selected from the group consisting of hydrido, methyl, ethyl, amidino, guanidino, methoxy, hydroxy, amino, aminomethyl, 1-aminoethyl, 2-aminoethyl, N-methylamino, dimethylamino, methylthio, ethylthio, trifluoromethylthio, methylsulfinyl, methylsulfonyl, trifluoromethyl, pentafluoroethyl, 2,2,2-trifluoroethyl, trifluoromethoxy, fluoro, chloro, amidosulfonyl, N-methylamidosulfonyl, hydroxymethyl, carboxy, and cyano;

$Q^b$ is selected from the group consisting of $NR^{20}R^{21}$ and $C(NR^{25})NR^{23}R^{24}$, with the proviso that said $Q^b$ group is bonded directly to a carbon atom;

$R^{20}$, $R^{21}$, $R^{23}$, $R^{24}$, and $R^{25}$ are independently selected from the group consisting of hydrido, methyl, and ethyl.

43. (once amended) The compound as recited in Claim 42 or a pharmaceutically acceptable salt thereof, wherein;

[B is selected from the group consisting of 2-aminophenyl, 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-carboxyphenyl, 3-carboxy-5-hydroxyphenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 3-fluorophenyl, 3,4-difluorophenyl, 3-hydroxyphenyl, 4-hydroxyphenyl, 3-methoxyaminophenyl, 3-methoxyphenyl, 4-methoxyphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, and 3-trifluoromethylphenyl;]

B is [optionally] selected from the group consisting of hydrido, ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl,(S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

[B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, an d azetidin-3-yl;]

A is selected from the group consisting of single covalent bond, $CH_2$, $CH_3CH$, $CH_2CH_2$, and $CH_2CH_2CH_2$;

$R^1$ and $X^o$ are independently selected from the group consisting of hydrido, hydroxy, amino, amidino, hydroxyamino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, hydroxymethyl, methoxyamino, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$ is selected from the group consisting of 5-amino-3-amidocarbonylphenyl, 5-amino-2-fluorophenyl, 3-amino-5-hydroxymethylphenyl, 5-amino-3-methoxycarbonylphenyl, 3-amidinophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-aminophenyl, benzyl, 3-carboxyphenyl, 3-carboxy-5-aminophenyl, 3-carboxy-5-hydroxyphenyl, 3-carboxymethyl-5-aminophenyl, 3-carboxymethyl-5-hydroxyphenyl, 3-carboxymethylphenyl, 3-chlorophenyl, 2-chlorophenyl, 2,6-dichlorophenyl, 3-cyanophenyl, 3-dimethylaminophenyl, 2-fluorophenyl, 3-fluorophenyl, 2,5-difluorophenyl, 2-hydroxyphenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 2-methoxyphenyl, 3-methoxyphenyl, 3-methoxyaminophenyl, 3-methoxycarbonylphenyl, 2-methylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, 4-methylphenyl, phenyl, 3-trifluoroacetamidophenyl, 3-trifluoromethylphenyl, 2-trifluoromethylphenyl, 5-amino-2-thienyl, 5-amino-3-thienyl, 3-bromo-2-thienyl, 3-pyridyl, 4-pyridyl, 2-thienyl, and 3-thienyl;

$Y^{AT}$ is $Q^b$-$Q^s$;

$Q^s$ is selected from the group consisting of:
[CH(benzoyl)]$(CH_2)_b$, [CH(2-pyridylcarbonyl)]$(CH_2)_b$, [CH(3-pyridylcarbonyl)]$(CH_2)_b$, [CH(4-pyridylcarbonyl)]$(CH_2)_b$, [CH(2-thienylcarbonyl)]$(CH_2)_b$,[CH(3-thienylcarbonyl)]$(CH_2)_b$, [CH(2-thiazolylcarbonyl)]$(CH_2)_b$, [CH(4-thiazolylcarbonyl)]$(CH_2)_b$, and [CH(5-thiazolylcarbonyl)]$(CH_2)_b$. wherein b is an integer selected from 1 through 3, with the provisos that said aroyl and said heteroaroyl are optionally substituted at from one through three of the ring carbons with a substituent selected from the group consisting of $R^{16}$, $R^{17}$, $R^{18}$, and $R^{19}$ with the proviso that $R^{17}$ and $R^{18}$ are optionally substituted at a carbon selected from other than the meta and para carbons relative to the carbonyl of the benzoyl substituent and the heteroaroyl substituent, and that said benzoyl and said heteroaroyl substituent are bonded to the carbon directly bonded to amide nitrogen of the 1-(amidocarbonymethylene) group;

$R^{16}$ and $R^{19}$ are independently selected from the group consisting of hydrido, amidino, amino, aminomethyl, methoxy, methylamino, hydroxy, hydroxymethyl, fluoro, chloro, and cyano;

$R^{17}$ and $R^{18}$ are independently selected from the group consisting of hydrido, fluoro, chloro, hydroxy, hydroxymethyl, amino, carboxy, and cyano;

$Q^b$ is $C(NR^{25})NR^{23}R^{24}$;

$R^{23}$, $R^{24}$, and $R^{25}$ are independently selected from the group consisting of hydrido and methyl.

44. (once amended) The compound as recited in Claim 43 or a pharmaceutically acceptable salt thereof, wherein;

[B is selected from the group consisting of 3-aminophenyl, 3-amidinophenyl, 4-amidinophenyl, 3-chlorophenyl, 4-chlorophenyl, 3,4-dichlorophenyl, 2-fluorophenyl, 4-methylphenyl, and phenyl;]

B is [optionally] selected from the group consisting of hydrido,ethyl, 2-propenyl, 2-propynyl, propyl, isopropyl, butyl, 2-butyl, (R)-2-butyl,(S)-2-butyl, *tert*-butyl, isobutyl, 1-pentyl, 3-pentyl, 2-methylbutyl, 2,2,2-trifluoroethyl, 6-amidocarbonylhexyl, 4-methyl-2-pentyl, 3-hydroxypropyl, 3-methoxy-2-propyl, 2-methoxyethyl, 2-methyl-2-butyl, 3-methyl-2-butyl, 2-dimethylaminopropyl, 2-cyanoethyl, 6-hydroxyhexyl, 2-hydroxyethyl, 2-amidinoethyl, 2-guanidinoethyl, 3-guanidinopropyl, 4-guanidinobutyl, 3-hydroxypropyl, 4-hydroxybutyl, 6-cyanohexyl, 2-dimethylaminoethyl, 3-methylbutyl, 2-methylbutyl, (S)-2-methylbutyl, 3-aminopropyl, 2-hexyl, and 4-aminobutyl;

[B is optionally selected from the group consisting of cyclopropyl, cyclobutyl, cyclopentyl, cyclohexyl, 2-(2R)-bicyclo[2.2.1]-heptyl, oxetan-3-yl, azetidin-1-yl, azetidin-2-yl, and azetidin-3-yl;]

A is selected from the group consisting of a single covalent bond, $CH_2$, $CH_2CH_2$ and $CH_2CH_2CH_2$;

$X^o$ is selected from the group consisting of hydrido, hydroxy, amino, amidino, aminomethyl, cyano, methyl, trifluoromethyl, hydroxymethyl, and fluoro;

$R^1$ is selected from the group consisting of hydrido, hydroxy, amino, aminomethyl, methylamino, cyano, methyl, trifluoromethyl, methoxy, methylthio, trifluoromethoxy, fluoro, and chloro;

$R^2$ is selected from the group consisting of 3-aminophenyl, benzyl, 2,6-dichlorophenyl, 5-amino-2-thienyl, 5-amino-2-fluorophenyl, 3-amino-2-methylphenyl, 5-amino-2-methylthiophenyl, 3-carboxyphenyl, 3-cyanophenyl, 3-chlorophenyl, 2-

hydroxyhenyl, 3-hydroxyphenyl, 3-methanesulfonylaminophenyl, 3-methoxycarbonylphenyl, 3-dimethylaminophenyl, 3-methylaminophenyl, 2-methylphenyl, 3-methylphenyl, phenyl, 3-pyridyl, 3-trifluoroacetamidophenyl, 3-bromo-2-thienyl, 2-thienyl, and 3-thienyl;

$Y^{AT}$ is selected from the group consisting of 5-guanidino-1-oxo-1-(2-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(5-thiazolyl)-2-pentyl, 5-guanidino-1-oxo-1-(4-amino-2-thiazolyl)-2-pentyl, and 5-guanidino-1-oxo-1-phenyl-2-pentyl.

46. (once amended) A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of Claims [8, 16,] 24 [, 32,] **or** 40 [, and 45] and a pharmaceutically acceptable carrier.

47. (once amended) A composition for inhibiting thrombotic conditions in blood comprising a compound of any one of [Claims 1 through 7, Claims 9 through 15,] Claims 17 through 23, [Claims 25 through 31,] Claims 33 through 39, [and] **or** Claims 41 through 44 and a pharmaceutically acceptable carrier.

48. (once amended) A method for inhibiting thrombotic conditions in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 46 [and] **or** 47.

49. (once amended) A method for inhibiting formation of blood platelet aggregates in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 46 [and] **or** 47.

50. (once amended) A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a composition of any one of Claims 46 [and] **or** 47.

51. (once amended) A method for treating or preventing venuous thromboembolism and pulmonary embolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 46 [and] **or** 47.

52. (once amended) A method for treating or preventing deep vein thrombosis in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of of any one of Claims 46 [and] **or** 47.

53. (once amended) A method for treating or preventing cardiogenic thromboembolism in a mammal comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 46 [and] **or** 47.

54. (once amended) A method for treating or preventing thromboembolic stroke in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 46 [and] **or** 47.

55. (once amended) A method for treating or preventing thrombosis associated with cancer and cancer chemotherapy in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 46 [and] **or** 47.

56. (once amended) A method for treating or preventing unstable angina in humans and other mammals comprising administering to the mammal a therapeutically effective amount of a composition of any one of Claims 46 [and] **or** 47.

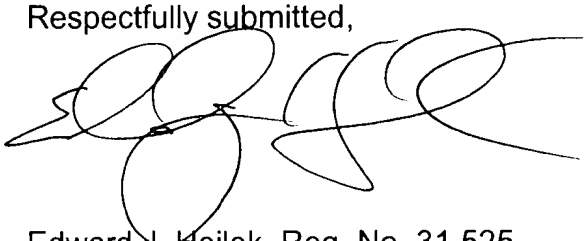
57. (once amended) A method for inhibiting thrombus formation in blood comprising adding to blood a therapeutically effective amount of a compound of any one of Claims [1 through 45] **17-24 or 33-44** with a therapeutically effective amount of fibrinogen receptor antagonist.

## CONCLUSION

In light of the foregoing, Applicants request entry of the claim amendments, withdrawal of all claim rejections, and solicit allowance of the claims. The Examiner is invited to contact the undersigned attorney should any issue remain unresolved.

Enclosed is a check for $390.00 for late submission of Amendment A and Response and copies of the references noted by the Examiner as unavailable with the instant application. The Commissioner is hereby authorized to charge any under payment or credit any over payment to Deposit Account No. 19-1345.

Respectfully submitted,



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